Supporting Information

Revealing Drug Self-Associations Into Nano-entities

Marwa M. Dlim, Fatma S. Shahout, Marwa K. Khabir, Patrick P. Labonte, Steven R. LaPlante*

INRS, Center Institut Armand-Frappier, Université du Québec, 531, boul. des Prairies, Laval (Québec) H7V 1B7, CANADA

Figure S1. Confocal images of HeLa cells incubated in the presence of 50 μM of Lapatinib.

Figure S2. Confocal images of HeLa cells incubated in the presence of 50 μM Light green SF yellowish.

Figure S3. Monitoring the stability of aggregates. Confocal images of HeLa cells incubated in the presence of 50 μM Light Green SF Yellowish.

Figure S4. Confocal images of Huh-7 cells incubated in the presence of 50 μM Light Green SF Yellowish.

Figure S5. Confocal images of Huh-7 cells treated with Clofazimine.

Figure S6. Measurement of anti-proliferative activities of aggregate and monomer forms of Lapatinib.

Figure S7. Confocal images of Huh-7 cells incubated in the presence of 50 mM Tartrazine dye incubated for 24 hours at 37^{o}C.

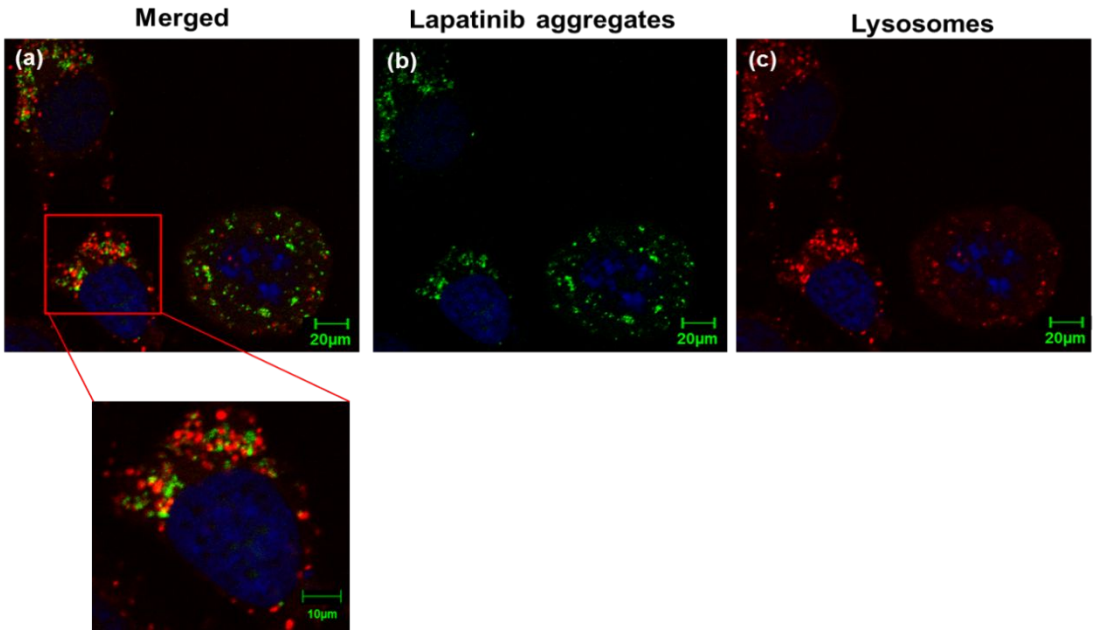

Figure S1. Confocal images of HeLa cells incubated in the presence of 50 μM of Lapatinib. Intracellular occupancy of drug correlates with the location of the lysosomal compartments. (a) Merged Lapatinib co-localized with the lysosome, (b) Lapatinib (green), (c) lysotracker (red). DRAQ5 was used to stain the nucleus (blue) to monitor lysosome lacations. Bars represent 20 μm and 10 μm.

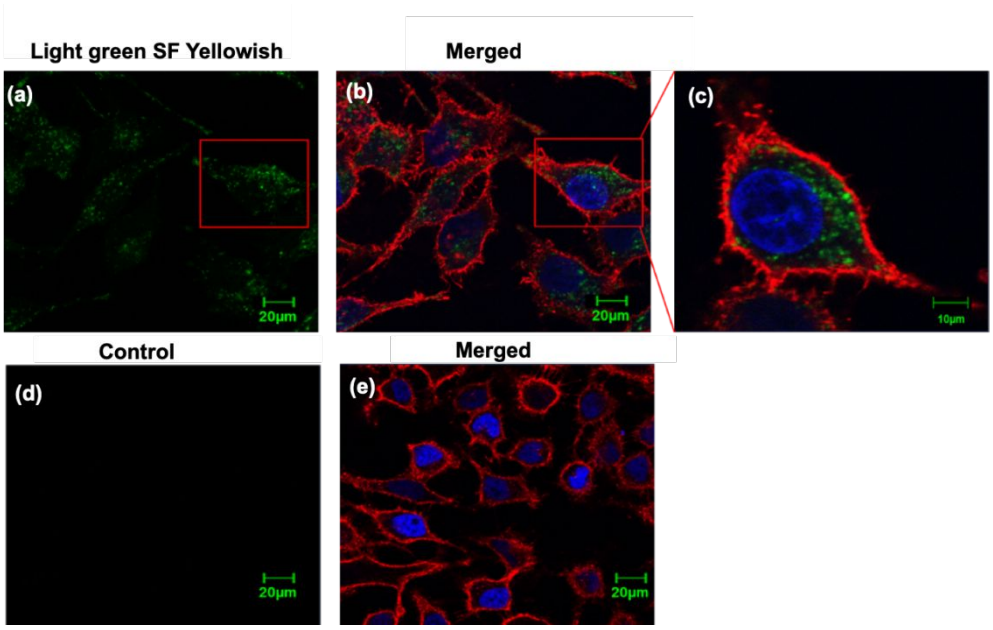

Figure S2. Confocal images of HeLa cells incubated in the presence of 50 μM Light green SF yellowish for 24 hrs (upper row) (a-c), as compared to untreated cells incubated in the absence of Light green SF yellowish (lower row) (d) and (e). Alexa fluor WGA 555 was used to stain the cell membranes, and DAPI was used to stain the nucleus. Bars represent 20 μm.

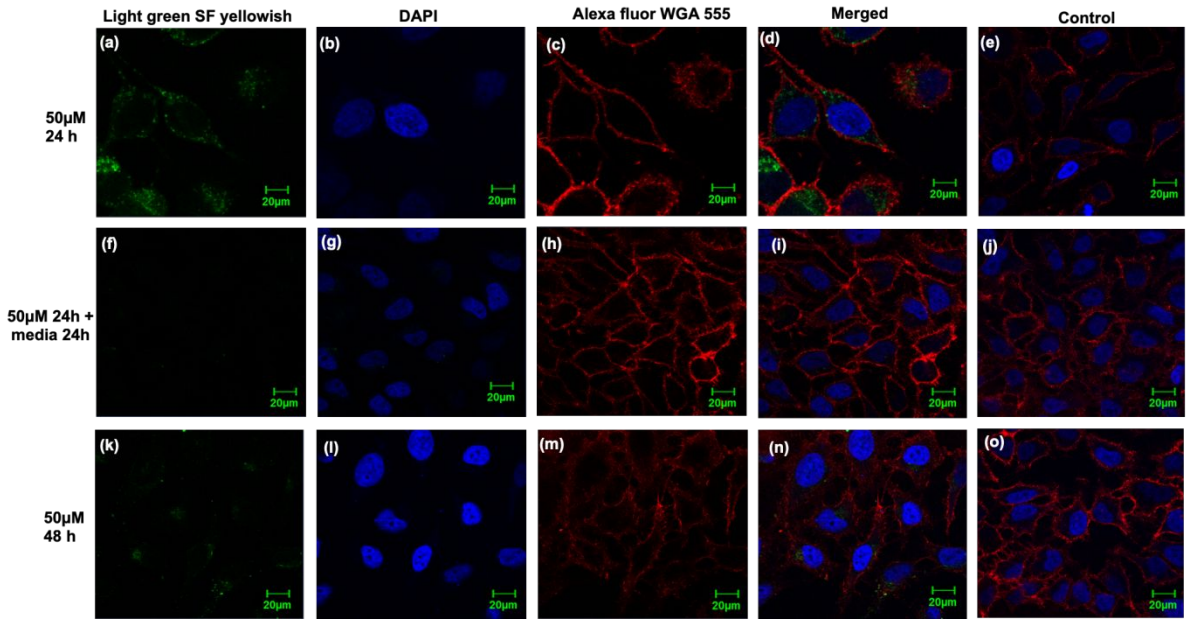

Figure S3. Monitoring the stability of aggregates. Confocal images of HeLa cells incubated in the presence of 50 μM Light Green SF Yellowish for 24 hrs (top row) (a-d), as compared to untreated cells incubated in the absence of compound (e). The media were removed after 24 hrs, refreshed with new media, and then incubated for an additional 24 hrs (middle row) (f-i), as compared to untreated cells (j). HeLa cells incubated in the presence of 50 μM Light Green SF Yellowish for 48 hrs (bottom row) (k-n), as compared to untreated cells (o). Alexa fluor WGA 555 was used to stain the cell membranes, and DAPI was used to stain the nucleus. Bars represent 20 μm.

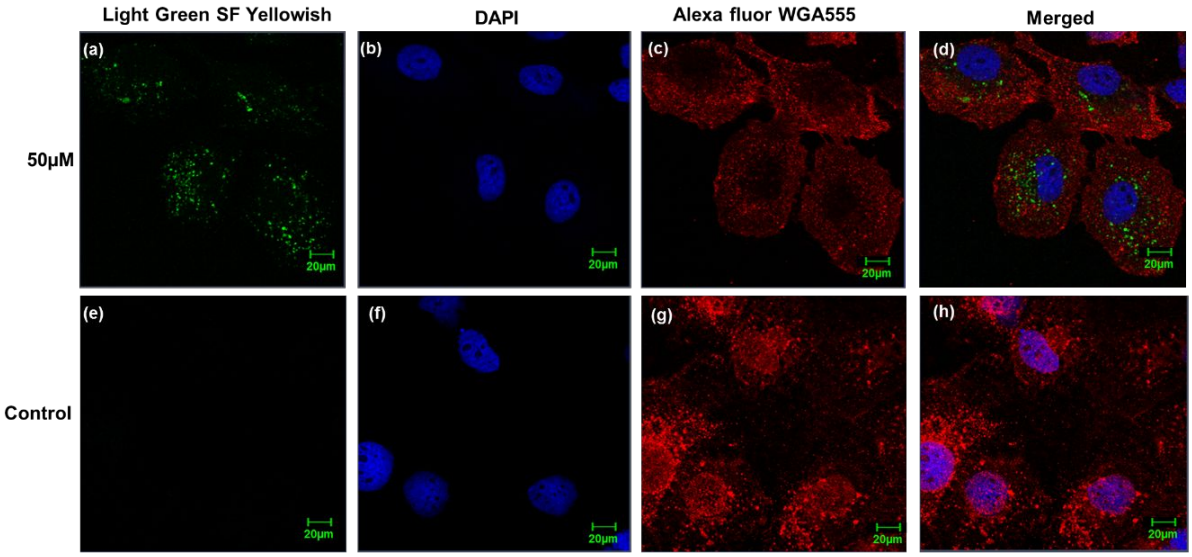

Figure S4. Confocal images of Huh-7 cells incubated in the presence of 50 μM Light Green SF Yellowish for 24 hrs (upper row) (a-d), as compared to untreated cells incubated in the absence of compound (bottom row) (e-h). Alexa fluor WGA 555 was used to stain the cell membranes, and DAPI was used to stain the nucleus. Bars represent 20 μm.

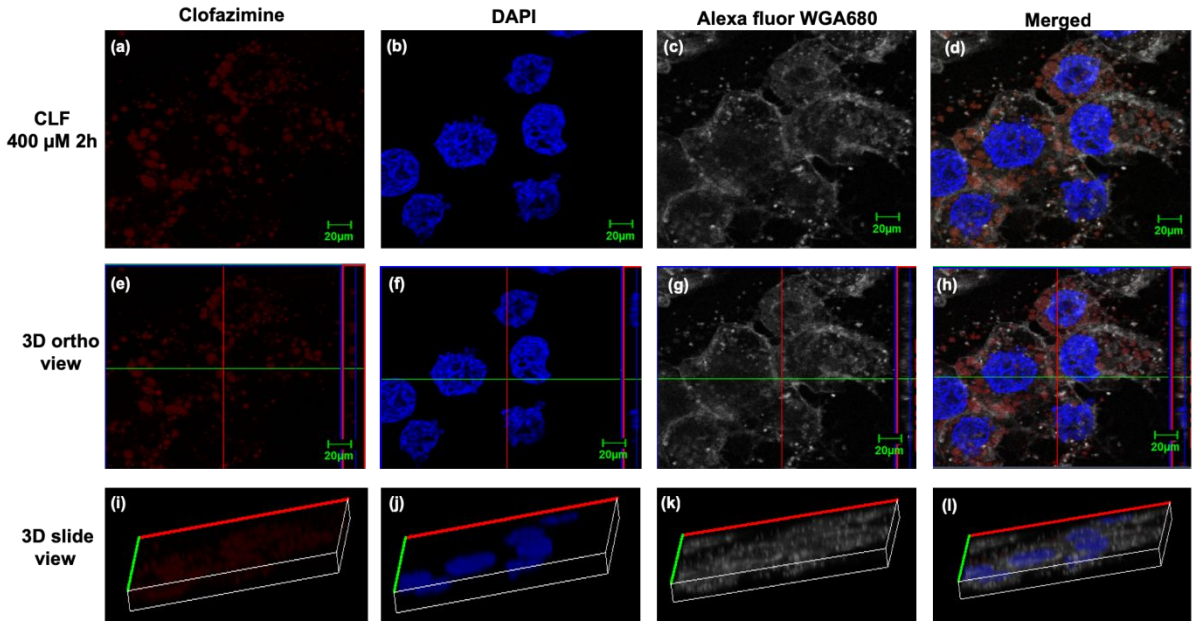

Figure S5. Confocal images of Huh-7 cells treated with Clofazimine at 200 µM for 2 hrs (upper row) (a-d), 3D ortho view (middle row) (e-h), and 3D slide view (bottom row) (i-l). Bars represent 20 µm.

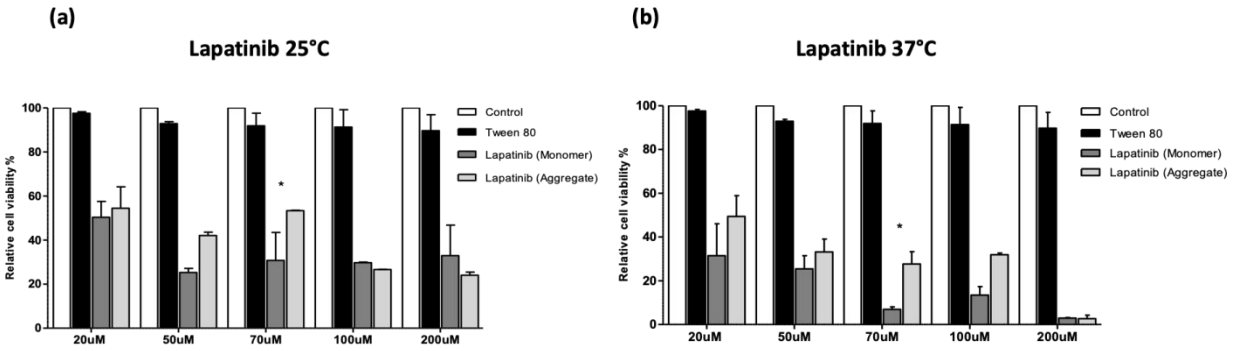

Figure S6. Measurement of anti-proliferative activities of aggregate and monomer forms of Lapatinib (a) at 25°C and (b) at 37° C in HeLa cell line, incubated in the presence and absence of 0.025% (v/v) Tween 80. Graph represents as (Means ± SEM) (*P < 0.05).

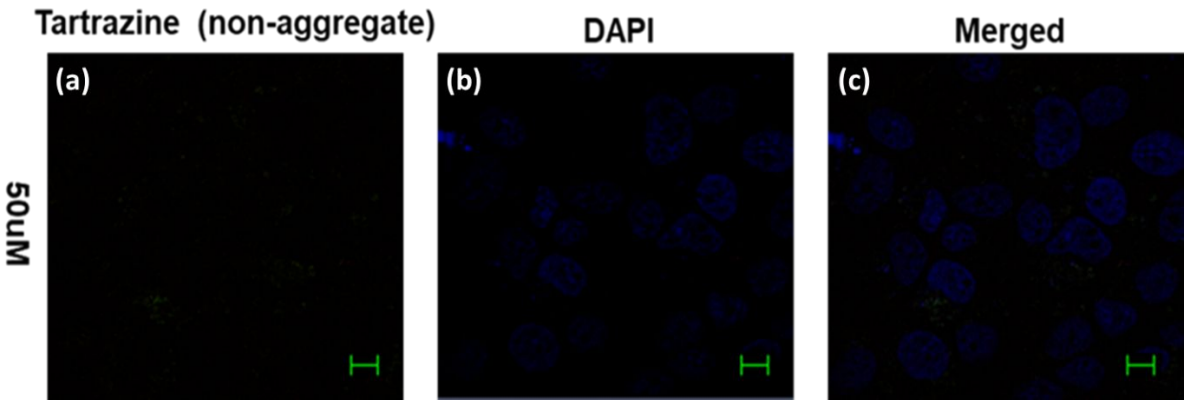

Figure S7. Confocal images of Huh-7 cells incubated in the presence of 50 mM non-aggregate dye (Tartrazine) incubated for 24 hrs at 37^{o}C; (a) tartarazine only, (b) DAPI only for nucleus stain, and (c) merged image of tartarazine and DAPI. Bars represent 20 μm.